

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
23 June 2005 (23.06.2005)

PCT

(10) International Publication Number
WO 2005/056582 A1

(51) International Patent Classification⁷: **C07K 05/04**, 05/065, 05/093, G01N 33/573, C12Q 1/42, C07F 9/40

(74) Agent: **OGILVY RENAULT**; Suite 1600, 1981 McGill College Avenue, Montréal, Québec H3A 2Y3 (CA).

(21) International Application Number:
PCT/CA2004/002100

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 9 December 2004 (09.12.2004)

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(25) Filing Language: English
(26) Publication Language: English
(30) Priority Data:
60/529,257 12 December 2003 (12.12.2003) US



(71) Applicant (for all designated States except US): **MERCK FROSST CANADA & CO.** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA).

(72) Inventors; and
(75) Inventors/Applicants (for US only): **RAMACHANDRAN, Chidambaram** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA). **THERIEN, Michel** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA). **LAU, Cheuk, K.** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA). **ZAMBONI, Robert** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2005/056582 A1

(54) Title: PTP1B PHOTOPROBES

(57) Abstract: Photoaffinity probes (photoprobes) of the PTP1B enzyme contain the trifluoromethylphenyl diazirene photophore attached to compounds which bind to the PTP1B enzyme. The photoprobes bind irreversibly to the PTP1B enzyme upon irradiation, and can be used to study the binding of compounds to the PTP1B enzyme. Compounds that bind to the PTP1B enzyme may inhibit PTP1B and may be useful in the treatment of type 2 diabetes.